(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization

International Bureau



(43) International Publication Date 1 July 2004 (01.07.2004)

(10) International Publication Number WO 2004/055013 A1

(51) International Patent Classification7: C07D 471/04, A61K 31/4375, A61P 29/00

(21) International Application Number:

PCT/EP2003/014263

(22) International Filing Date:

15 December 2003 (15.12.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

.0229281.1

16 December 2002 (16.12.2002)

- (71) Applicant (for all designated States except AT, US): NO-VARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).
- (71) Applicant (for AT only): NOVARTIS PHARMA GMBH [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): DENHOLM, Alastair [GB/GB]; Novartis Horsham Research Centre, Wimblehurst Road, Horsham, West Sussex RH12 5AB (GB). KELLER, Thomas, Hugo [CH/SG]; 23 Jalan Merah Saga, The Merasaga 06-01, Singapore 278102 (SG). MCCARTHY, Clive [GB/GB]; Novartis Horsham Research Centre, Wimblehurst Road, Horsham, West

Sussex RH12 5AB (GB). PRESS, Neil, John [GB/GB]; Novartis Horsham Research Centre, Wimblehurst Road, Horsham, West Sussex RH12 5AB (GB). TAYLOR, Roger, John [GB/GB]; Novartis Horsham Research Centre, Wimblehurst Road, Horsham, West Sussex RH12 5AB (GB).

- (74) Agent: GRUBB. Philip; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW.
- (84) Designated States (regional): Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: [1,7]NAPHTHYRIDINES AS PDE4 INHIBITORS

(57) Abstract: Compounds of Formula (I) in free or salt form, wherein R1, R2 and R3 have the meanings as indicated in the specification, are useful for treating conditions mediated by of phosphodiesterase type 4 or the down-regulation or inhibition of TNF-α release, particularly obstructive or inflammatory airways diseases. Pharmaceutical compositions that contain the compounds and processes for preparing the compounds are also described.